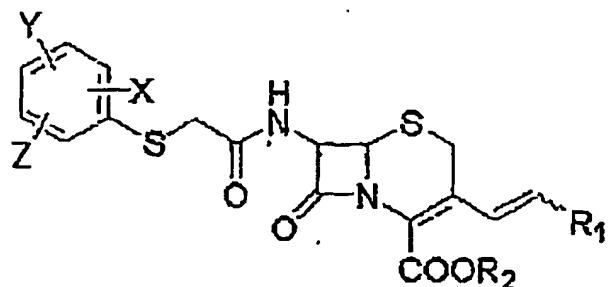


[CLAIMS]

[Claim 1] A cephalosporin compound, or a pharmaceutically acceptable salt thereof, represented by Formula I below:

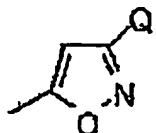


5

(1)

wherein X, Y and Z may be the same or different from one another, and are each independently hydrogen, halogen, C₁₋₆ alkyl, C₁₋₆ alkoxy, C₁₋₆ halogenoalkyl, C₁₋₆ alkoxyalkyl, or C₃₋₆ cycloalkyl;

R₁ is a 3-substituted isoxazolyl group represented by Formula A below:



10 (A)

(wherein Q is a substituent useful for the cephalosporin compounds, and is hydrogen, halogen, hydroxy, mercapto, cyano, carboxy, carboxylic acid, ester, carbamoyloxy, carbamoyl, N,N-dimethylcarbamoyl, C₁₋₄ alkyl, C₁₋₄ alkoxy, halogen-substituted alkyl, aryl, or heterocyclic group); and

15

R₂ is hydrogen, a group forming an ester as a carboxyl derivative, a salt-forming element, or a carboxy-protecting group.

[Claim 2] The compound according to claim 1, wherein the compound is selected from the group consisting of:

20

para-methoxybenzyl (6R,7R)-7-phenylthioacetamido-3-[(3-methylisoxazol-5-yl)vinyl]-3-cephem-4-carboxylate;

para-methoxybenzyl (6R,7R)-7-phenylthioacetamido-3-[(3-ethylisoxazol-5-yl)vinyl]-3-cephem-4-carboxylate;

para-methoxybenzyl

(6R,7R)-7-phenylthioacetamido-3-[(3-

- methoxyisoxazol-5-yl)vinyl]-3-cephem-4-carboxylate;
para-methoxybenzyl (6R,7R)-7-phenylthioacetamido-3-[(3-ethoxyisoxazol-5-yl)vinyl]-3-cephem-4-carboxylate;
para-methoxybenzyl (6R,7R)-7-phenylthioacetamido-3-[(3-bromoisoxazol-5-yl)vinyl]-3-cephem-4-carboxylate;
5 para-methoxybenzyl (6R,7R)-7-phenylthioacetamido-3-[(3-hydroxyisoxazol-5-yl)vinyl]-3-cephem-4-carboxylate;
para-methoxybenzyl (6R,7R)-7-phenylthioacetamido-3-[(3-ethoxycarbonylisoxazol-5-yl)vinyl]-3-cephem-4-carboxylate;
10 para-methoxybenzyl (6R,7R)-7-phenylthioacetamido-3-[(3-phenylisoxazol-5-yl)vinyl]-3-cephem-4-carboxylate;
para-methoxybenzyl (6R,7R)-7-phenylthioacetamido-3-[[3-(4-methylphenyl)isoxazol-5-yl]vinyl]-3-cephem-4-carboxylate;
15 para-methoxybenzyl (6R,7R)-7-phenylthioacetamido-3-[[3-(4-methoxyphenyl)isoxazol-5-yl]vinyl]-3-cephem-4-carboxylate;
para-methoxybenzyl (6R,7R)-7-phenylthioacetamido-3-[[3-(4-fluorophenyl)isoxazol-5-yl]vinyl]-3-cephem-4-carboxylate;
20 para-methoxybenzyl (6R,7R)-7-phenylthioacetamido-3-[[3-(4-chlorophenyl)isoxazol-5-yl]vinyl]-3-cephem-4-carboxylate;
para-methoxybenzyl (6R,7R)-7-phenylthioacetamido-3-[[3-(4-bromophenyl)isoxazol-5-yl]vinyl]-3-cephem-4-carboxylate;
25 para-methoxybenzyl (6R,7R)-7-phenylthioacetamido-3-[[3-(pyridin-2-yl)isoxazol-5-yl]vinyl]-3-cephem-4-carboxylate;
para-methoxybenzyl (6R,7R)-7-phenylthioacetamido-3-[[3-(pyridin-3-yl)isoxazol-5-yl]vinyl]-3-cephem-4-carboxylate;
30 para-methoxybenzyl (6R,7R)-7-phenylthioacetamido-3-[[3-(pyridin-4-yl)isoxazol-5-yl]vinyl]-3-cephem-4-carboxylate;
para-methoxybenzyl (6R,7R)-7-phenylthioacetamido-3-[(3-carbamoylisoxazol-5-yl)vinyl]-3-cephem-4-carboxylate;
35 (6R,7R)-7-phenylthioacetamido-3-[(3-methylisoxazol-5-yl)vinyl]-3-cephem-4-carboxylic acid;
(6R,7R)-7-phenylthioacetamido-3-[(3-ethylisoxazol-5-yl)vinyl]-3-cephem-4-carboxylic acid;
(6R,7R)-7-phenylthioacetamido-3-[(3-methoxyisoxazol-5-yl)vinyl]-3-cephem-4-carboxylic acid;

(6*R*,7*R*)-7-phenylthioacetamido-3-[(3-ethoxyisoxazol-5-yl)vinyl]-3-cephem-4-carboxylic acid;

5 (6*R*,7*R*)-7-phenylthioacetamido-3-[(3-bromoisoxazol-5-yl)vinyl]-3-cephem-4-carboxylic acid;

(6*R*,7*R*)-7-phenylthioacetamido-3-[(3-hydroxyisoxazol-5-yl)vinyl]-3-cephem-4-carboxylic acid;

10 (6*R*,7*R*)-7-phenylthioacetamido-3-[(3-ethoxycarbonylisoxazol-5-yl)vinyl]-3-cephem-4-carboxylic acid;

15 (6*R*,7*R*)-7-phenylthioacetamido-3-[(3-phenylisoxazol-5-yl)vinyl]-3-cephem-4-carboxylic acid;

(6*R*,7*R*)-7-phenylthioacetamido-3-[[3-(4-methylphenyl)isoxazol-5-yl]vinyl]-3-cephem-4-carboxylic acid;

20 (6*R*,7*R*)-7-phenylthioacetamido-3-[[3-(4-methoxyphenyl)isoxazol-5-yl]vinyl]-3-cephem-4-carboxylic acid;

25 (6*R*,7*R*)-7-phenylthioacetamido-3-[[3-(4-fluorophenyl)isoxazol-5-yl]vinyl]-3-cephem-4-carboxylic acid;

(6*R*,7*R*)-7-phenylthioacetamido-3-[[3-(4-chlorophenyl)isoxazol-5-yl]vinyl]-3-cephem-4-carboxylic acid;

30 (6*R*,7*R*)-7-phenylthioacetamido-3-[[3-(4-bromophenyl)isoxazol-5-yl]vinyl]-3-cephem-4-carboxylic acid;

(6*R*,7*R*)-7-phenylthioacetamido-3-[[3-(pyridin-2-yl)isoxazol-5-yl]vinyl]-3-cephem-4-carboxylic acid;

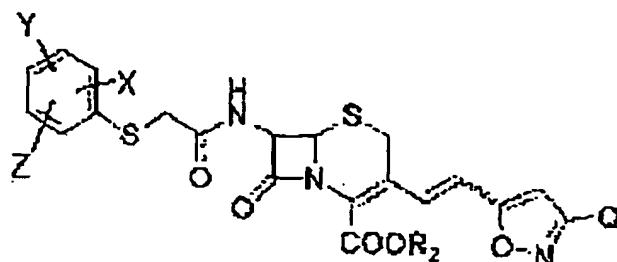
(6*R*,7*R*)-7-phenylthioacetamido-3-[[3-(pyridin-3-yl)isoxazol-5-yl]vinyl]-3-cephem-4-carboxylic acid;

35 (6*R*,7*R*)-7-phenylthioacetamido-3-[[3-(pyridin-4-yl)isoxazol-5-yl]vinyl]-3-cephem-4-carboxylic acid; and

(6*R*,7*R*)-7-phenylthioacetamido-3-[(3-carbamoylisoxazol-5-yl)vinyl]-3-cephem-4-carboxylic acid.

[Claim 3] A method for preparing a cephalosporin compound or a pharmaceutically acceptable salt thereof, comprising the steps:

preparing a compound represented by Formula I below:



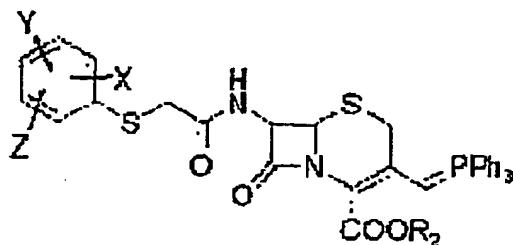
(II)

wherein X, Y and Z may be the same or different from one another, and are each independently hydrogen, halogen, C₁₋₆ alkyl, C₁₋₆ alkoxy, C₁₋₆ halogenoalkyl, C₁₋₆ alkoxyalkyl, or C₃₋₆ cycloalkyl;

5 Q is a substituent useful for the cephalosporin compound, and is hydrogen, halogen, hydroxy, mercapto, cyano, carboxy, carboxylic acid, ester, carbamoyloxy, carbamoyl, N,N-dimethylcarbamoyl, C₁₋₄ alkyl, C₁₋₄ alkyloxy, halogen-substituted alkyl, aryl, or heterocyclic group; and

10 R₂ is hydrogen, a group forming an ester as a carboxyl derivative, a salt-forming element, or a carboxy-protecting group,

by reacting an ylide of Formula VI below:



(VI)

wherein X, Y, Z and R₂ are as defined above,
with an aldehyde compound of Formula VII below:



(VII)

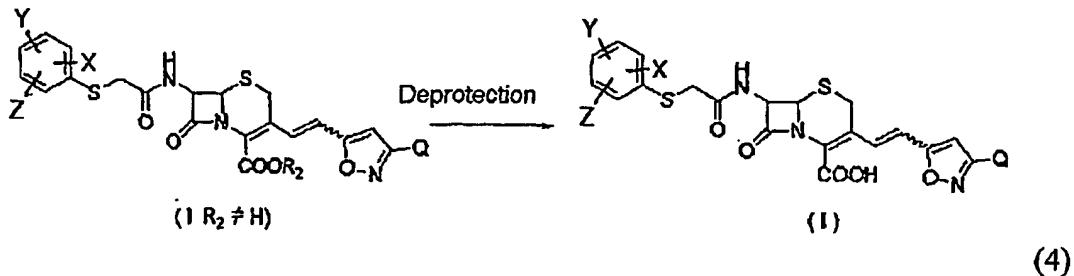
15 wherein Q is as defined above,
in the presence of a base and an organic solvent.

[Claim 4] The method according to claim 3, wherein the base is at least one selected from the group consisting of sodium carbonate, sodium hydrogen carbonate, alkali metal hydride, alkali metal amide, alkali metal hydroxide, alkali metal acetate, tri-(lower)alkylbenzylamine, N-lower alkylmorpholine, N,N-(lower)alkylbenzylamine and N,N-di-(lower)alkylaniline.

[Claim 5] The method according to claim 3 or 4, wherein the solvent is at least one selected from the group consisting of water, acetone, dioxane, acetonitrile, chloroform, dichloromethane, tetrahydrofuran, ethylacetate and N,N-dimethylformamide.

[Claim 6] The method according to claim 3 or 4, wherein the reaction is carried out at a temperature between -40°C and 25°C.

[Claim 7] The method according to claim 3 or 4, further comprising removing the protecting group by reacting the compound of Formula I with an acid, as depicted in Reaction Scheme 4 below:



wherein X, Y and Z may be the same or different from one another, and are each independently hydrogen, halogen, C₁₋₆ alkyl, C₁₋₆ alkoxy, C₁₋₆ halogenoalkyl, C₁₋₆ alkoxyalkyl, or C₃₋₆ cycloalkyl;

Q is a substituent useful for the cephalosporin compound, and is hydrogen, halogen, hydroxy, mercapto, cyano, carboxy, carboxylic acid, ester, carbamoyloxy, carbamoyl, N,N-dimethylcarbamoyl, C₁₋₄ alkyl, C₁₋₄ alkyloxy, halogen-substituted alkyl, aryl, or heterocyclic group; and

R₂ is hydrogen, a group forming an ester as a carboxyl derivative, a salt-forming element, or a carboxy-protecting group.

[Claim 8] An antibiotic composition, comprising:

the cephalosporin compound or its pharmaceutically acceptable salt according to claim 1; and
a pharmaceutically acceptable carrier.